

CLAIMS

1. A process for the preparation of a compound of Formula (1):



Formula (1)

wherein:

- 10 R^x is optionally substituted aryl; and
 R^y is optionally substituted hydrocarbyl;
which comprises the steps:

- 15 (a) reducing a compound of Formula (2):



Formula (2)

- 20 to a compound of Formula (3):



- 25 Formula (3)

wherein R^x and R^y are as defined for Formula (1):

- 30 (b) reacting a compound of Formula (3) with a leaving group donor, to give a compound of Formula (4);



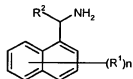
Formula (4)

- 35 wherein:

R^x and R^y are as defined for Formula (1); and
OL is a leaving group;

(c) reacting a compound of Formula (4) with ammonia to give a compound of Formula (1).

2. A process according to claim 1 for the preparation of a compound of Formula (5):



Formula (5)

wherein:

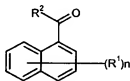
R^1 is a substituent;

R^2 is optionally substituted hydrocarbyl; and

n is 0 to 4;

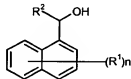
which comprises the steps:

(a) reducing a compound of Formula (6):



Formula (6)

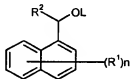
to a compound of Formula (7):



Formula (7)

wherein R^1 , R^2 and n are as defined for Formula (5):

(b) reacting a compound of Formula (7) with a leaving group donor, to give a compound of Formula (8);



Formula (8)

wherein:

R^1 , R^2 and n are as defined for Formula (5);

OL is a leaving group:

5 (c) reacting a compound of Formula (8) with ammonia to give a compound of Formula (5).

3. A process according to claim 2 where R^2 is optionally substituted C_{1-4} alkyl.

4. A process according to claim 3 where R^2 is methyl.

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5. A process according to any one of the preceding claims wherein n is 0.

6. A process according to any one of the preceding claims where step (a) is carried out in the presence of a catalyst.

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7. A process according to claim 6 where the catalyst is of Formula (A):



Formula (A)

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wherein:

R^3 represents a neutral optionally substituted hydrocarbonyl, a neutral optionally substituted perhalogenated hydrocarbonyl, or an optionally substituted cyclopentadienyl ligand;

25 A represents $-\text{NR}^4$ -, $-\text{NR}^5$ -, $-\text{NHR}^4$ -, $-\text{NR}^4\text{R}^6$ or $-\text{NR}^5\text{R}^6$ where R^4 is H, $\text{C}(\text{O})\text{R}^6$, SO_2R^6 , $\text{C}(\text{O})\text{NR}^6\text{R}^{10}$, $\text{C}(\text{S})\text{NR}^6\text{R}^{10}$, $\text{C}(=\text{NR}^{10})\text{SR}^{11}$ or $\text{C}(=\text{NR}^{10})\text{OR}^{11}$, R^5 and R^6 each independently represents an optionally substituted hydrocarbonyl, perhalogenated hydrocarbonyl or an optionally substituted heterocyclyl group, and R^{10} and R^{11} are each independently hydrogen or a group as defined for R^6 ;

30 B represents $-\text{O}-$, $-\text{OH}$, OR^7 -, $-\text{S}-$, $-\text{SH}$, SR^7 -, $-\text{NR}^8$ -, $-\text{NHR}^8$ -, $-\text{NR}^7\text{R}^8$ -, $-\text{NR}^7\text{R}^9$ -, $-\text{PR}^7$ - or $-\text{PR}^7\text{R}^9$ where R^8 is H, $\text{C}(\text{O})\text{R}^9$, SO_2R^9 , $\text{C}(\text{O})\text{NR}^9\text{R}^{12}$, $\text{C}(\text{S})\text{NR}^9\text{R}^{12}$, $\text{C}(=\text{NR}^{12})\text{SR}^{13}$ or $\text{C}(=\text{NR}^{12})\text{OR}^{13}$, R^7 and R^9 each independently represents an optionally substituted hydrocarbonyl, perhalogenated hydrocarbonyl or an optionally substituted heterocyclyl group, and R^{12} and R^{13} are each independently hydrogen or a group as defined for R^6 ;

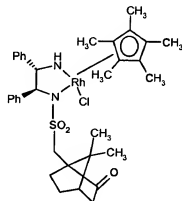
35 E represents a linking group;

M represents a metal capable of catalysing transfer hydrogenation; and

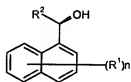
Y represents an anionic group, a basic ligand or a vacant site;

provided that when Y is not a vacant site that at least one of A or B carries a hydrogen atom.

8. A process according to claim 7 wherein A-E-B, R^3 and Y are chosen so that the catalyst is chiral.
9. A process according to either claim 7 or claim 8 wherein M, the metal, is rhodium present in valence state III and R^3 is an optionally substituted cyclopentadienyl ligand.
10. A process according to any one of claims 7 to 9 where the catalyst of Formula (A) is of formula:



11. A process according to any one of the preceding claims wherein step (a) is a stereospecific reaction.
12. A process according to any one of the preceding claims wherein the product of step (a) is a compound of Formula (9):



Formula (9)

wherein:

- R^1 is a substituent;
- R^2 is optionally substituted hydrocarbyl; and
- n is 0 to 4.
13. A process according to any one of claims 1 to 5 where in step (b) the leaving group donor is a compound of formula $R^{14}SO_2X$, where R^{14} is an optionally substituted

alkyl, optionally substituted aryl or an optionally substituted heteroaryl group and X is a halogen.

14. A process according to claim 13 where in step (b) the leaving group donor is methanesulphonyl chloride.

15. A process according to either claim 1 or claim 2 for the preparation of a compound of Formula (10):



Formula (10)

which comprises the steps:

- 15 (a) reducing a compound of Formula (11):



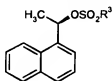
Formula (11)

to a compound of Formula (12):



Formula (12)

- (b) reacting a compound of Formula (12) with a compound of formula R^3SO_2X , in the presence of a base, to give a compound of Formula (13);



Formula (13)

wherein:

R^3 is optionally substituted C_{1-4} alkyl; and

X is halogen:

- 5 (c) reacting a compound of Formula (13) with ammonia to give a compound of Formula (10).

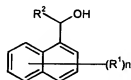
16. A process according to claim 15 where step (a) is carried out in the presence of a catalyst of Formula (A) as described in claim 7.

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17. A process according to claim 15 wherein the compound of Formula (10) is purified by diastereomeric salt resolution using (L)-tartaric acid or (L)-chloropropionic acid.

18. A process for the preparation of a stereoisomer of a compound of Formula (14):

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Formula (14)

wherein:

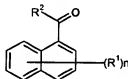
R^1 is a substituent;

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R^2 is optionally substituted hydrocarbyl; and

n is 0 to 4:

which comprises the transfer hydrogenation of a compound of Formula (6):



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Formula (6)

by a hydrogen donor in the presence of a catalyst of Formula (A) as described in claim 7.

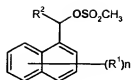
19. A process for the diastereomeric salt resolution of (S)-1-naphthylethylamine which comprises mixing (S)-1-naphthylethylamine with (2R,3R)-tartaric acid or (S)-chloropropionic acid to form the corresponding diastereomeric salt.

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20. A diastereomeric salt of (S)-1-naphthylethylamine with (2R,3R)-tartaric acid or (S)-chloropropionic acid.

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21. A compound of Formula (15):



Formula (15)

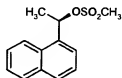
wherein:

R^1 is a substituent;

R^2 is optionally substituted hydrocarbyl; and

n is 0 to 4.

22. A compound according to claim 21 of Formula (15) which is of Formula (16):



Formula (16)